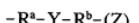


WHAT IS CLAIMED IS:

1. A glycopeptide compound having at least one substituent of the formula:



5 wherein

each R^a is independently selected from the group consisting of alkylene, substituted alkylene, alkenylene, substituted alkenylene, alkynylene and substituted alkynylene;

10 each R^b is independently selected from the group consisting of a covalent bond, alkylene, substituted alkylene, alkenylene, substituted alkenylene, alkynylene and substituted alkynylene, provided R^b is not a covalent bond when Z is hydrogen;

15 each Y is independently selected from the group consisting of oxygen, sulfur, $-S-S^-$, $-NR^c^-$, $-S(O)^-$, $-SO_2^-$, $-NR^cC(O)^-$, $-OC(O)^-$, $-NR^cSO_2^-$, $-OSO_2^-$, $-C(O)NR^c^-$, $-C(O)O^-$, $-SO_2NR^c^-$, $-SO_2O^-$, $-P(O)(OR^c)O^-$, $-P(O)(OR^c)NR^c^-$, $-OP(O)(OR^c)O^-$, $-OP(O)(OR^c)NR^c^-$, $-OC(O)O^-$, $-NR^cC(O)O^-$, $-NR^cC(O)NR^c^-$, $-OC(O)NR^c^-$ and $-NR^cSO_2NR^c^-$;

each Z is independently selected from hydrogen, aryl, cycloalkyl, cycloalkenyl, heteroaryl and heterocyclic;

20 each R^c is independently selected from the group consisting of hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl, substituted cycloalkyl, cycloalkenyl, substituted cycloalkenyl, aryl, heteroaryl, heterocyclic and $-C(O)R^d$;

25 each R^d is independently selected from the group consisting of alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl,

cycloalkyl, substituted cycloalkyl, cycloalkenyl, substituted cycloalkenyl, aryl, heteroaryl and heterocyclic;

x is 1 or 2;

and pharmaceutically acceptable salts thereof;

5 provided that:

(i) when Y is $-NR^c-$, R^c is alkyl of 1 to 4 carbon atoms, Z is hydrogen and R^b is alkylene, then R^b contains at least 5 carbon atoms;

(ii) when Y is $-C(O)NR^c-$, Z is hydrogen and R^b is alkylene, then R^b contains at least 5 carbon atoms;

10 (iii) when Y is sulfur, Z is hydrogen and R^b is alkylene, then R^b contains at least 7 carbon atoms; and

(iv) when Y is oxygen, Z is hydrogen and R^b is alkylene, then R^b contains at least 11 carbon atoms.

15 2. The compound of Claim 1, wherein the glycopeptide compound is substituted with from 1 to 3 substituents of the formula $-R^a-Y-R^b-(Z)_x$.

3. The compound of Claim 2, wherein each R^a is independently selected from alkylene having from 1 to 10 carbon atoms.

4. The compound of Claim 3, wherein R^a is ethylene or propylene.

5. The compound of Claim 2, wherein Z is hydrogen and R^b is 20 alkylene of from 8 to 12 carbon atoms.

6. The compound of Claim 5, wherein R^b and Z form an *n*-octyl, *n*-nonyl, *n*-decyl, *n*-undecyl or *n*-dodecyl group.

7. The compound of Claim 2, wherein Z is aryl, cycloalkyl, cycloalkenyl, heteroaryl or heterocyclic and R^b is a covalent bond or alkylene of from 1 to 10 carbon atoms.

8. The compound of Claim 7, wherein Z is aryl and R^b is a covalent bond, methylene, -(CH₂)₆-, -(CH₂)₇-, -(CH₂)₈-, -(CH₂)₉- or -(CH₂)₁₀-.

9. The compound of Claim 2, wherein each Y is independently selected from the group consisting of oxygen, sulfur, -S-S-, -NR^c-, -S(O)-, -SO₂-, -NR^cC(O)-, -OC(O)-, -NR^cSO₂-, -C(O)NR^c-, -C(O)O- and -SO₂NR^c-.

10. 10. The compound of Claim 9, wherein Y is oxygen, sulfur, -NR^c- or -NR^cSO₂-.

11. The compound of Claim 2, wherein each Z is independently selected from hydrogen, aryl, cycloalkyl, heteroaryl and heterocyclic.

12. The compound of Claim 11, wherein Z is hydrogen or aryl.

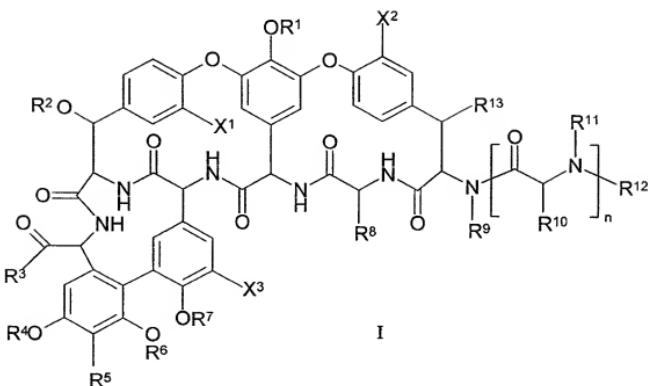
15. 13. The compound of Claim 12, wherein Z is phenyl, substituted phenyl, biphenyl, substituted biphenyl or terphenyl.

14. The compound of Claim 2, wherein the -R^a-Y-R^b-(Z)_x group is selected from the group consisting of:

- CH₂CH₂-NH-(CH₂)₉CH₃;
- CH₂CH₂CH₂-NH-(CH₂)₈CH₃;
- CH₂CH₂CH₂CH₂-NH-(CH₂)₇CH₃;
- CH₂CH₂-NHSO₂-(CH₂)₉CH₃;

- CH₂CH₂-NHSO₂-(CH₂)₁₁CH₃;
- CH₂CH₂-S-(CH₂)₈CH₃;
- CH₂CH₂-S-(CH₂)₉CH₃;
- CH₂CH₂-S-(CH₂)₁₀CH₃;
- 5 -CH₂CH₂CH₂-S-(CH₂)₈CH₃;
- CH₂CH₂CH₂-S-(CH₂)₉CH₃;
- CH₂CH₂CH₂-S-(CH₂)₃CH=CH-(CH₂)₄CH₃ (*trans*);
- CH₂CH₂CH₂CH₂-S-(CH₂)₇CH₃;
- CH₂CH₂-S(O)-(CH₂)₉CH₃;
- 10 -CH₂CH₂-S-(CH₂)₆Ph;
- CH₂CH₂-S-(CH₂)₈Ph;
- CH₂CH₂CH₂-S-(CH₂)₈Ph;
- CH₂CH₂-NH-CH₂-4-(4-Cl-Ph)-Ph;
- CH₂CH₂-NH-CH₂-4-[4-CH₃)₂CHCH₂-]-Ph;
- 15 -CH₂CH₂-NH-CH₂-4-(4-CF₃-Ph)-Ph;
- CH₂CH₂-S-CH₂-4-(4-Cl-Ph)-Ph;
- CH₂CH₂-S(O)-CH₂-4-(4-Cl-Ph)-Ph;
- CH₂CH₂CH₂-S-CH₂-4-(4-Cl-Ph)-Ph;
- CH₂CH₂CH₂-S(O)-CH₂-4-(4-Cl-Ph)-Ph;
- 20 -CH₂CH₂CH₂-S-CH₂-4-[3,4-di-Cl-PhCH₂O-]-Ph;
- CH₂CH₂-NHSO₂-CH₂-4-[4-(4-Ph)-Ph]-Ph;
- CH₂CH₂CH₂-NHSO₂-CH₂-4-(4-Cl-Ph)-Ph;
- CH₂CH₂CH₂-NHSO₂-CH₂-4-(Ph-C≡C-)-Ph;
- CH₂CH₂CH₂-NHSO₂-4-(4-Cl-Ph)-Ph; and
- 25 -CH₂CH₂CH₂-NHSO₂-4-(naphth-2-yl)-Ph.

15. A compound of formula I:



wherein

5 R¹ is selected from the group consisting of hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl, substituted cycloalkyl, cycloalkenyl, substituted cycloalkenyl, aryl, heteroaryl, heterocyclic and -R^a-Y-R^b-(Z)_x; or a saccharide group optionally substituted with -R^a-Y-R^b-(Z)_x;

10 R² is hydrogen or a saccharide group optionally substituted with -R^a-Y-R^b-(Z)_x;

 R³ is -OR^c, -NR^cR^c, -O-R^a-Y-R^b-(Z)_x, -NR^c-R^a-Y-R^b-(Z)_x, -NR^cR^c, or -O-R^c;

15 R⁴ is selected from the group consisting of hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, -R^a-Y-R^b-(Z)_x, -C(O)R^d and a saccharide group optionally substituted with -R^a-Y-R^b-(Z)_x;

R⁵ is selected from the group consisting of hydrogen, halo, -CH(R^c)-NR^cR^c, -CH(R^c)-NR^cR^c and -CH(R^c)-NR^c-R^a-Y-R^b-(Z)_x;

R⁶ is selected from the group consisting of hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, -R^a-Y-R^b-(Z)_x, -C(O)R^d and a saccharide group optionally substituted with -NR^c-R^a-Y-R^b-(Z)_x, or R⁵ and R⁶ can be joined, together with the atoms to which they are attached, form a heterocyclic ring optionally substituted with -NR^c-R^a-Y-R^b-(Z)_x;

R⁷ is selected from the group consisting of hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, -R^a-Y-R^b-(Z)_x, and -C(O)R^d;

R⁸ is selected from the group consisting of hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl, substituted cycloalkyl, cycloalkenyl, substituted cycloalkenyl, aryl, heteroaryl and heterocyclic;

R⁹ is selected from the group consisting of hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl, substituted cycloalkyl, cycloalkenyl, substituted cycloalkenyl, aryl, heteroaryl and heterocyclic;

R¹⁰ is selected from the group consisting of hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl, substituted cycloalkyl, cycloalkenyl, substituted cycloalkenyl, aryl, heteroaryl and heterocyclic; or R⁸ and R¹⁰ are joined to form -Ar¹-O-Ar²-, where Ar¹ and Ar² are independently arylene or heteroarylene;

R¹¹ is selected from the group consisting of hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl, substituted cycloalkyl, cycloalkenyl, substituted cycloalkenyl, aryl, heteroaryl and heterocyclic, or R¹⁰ and R¹¹ are joined, together with the carbon and nitrogen atoms to which they are attached, to form a heterocyclic ring;

R¹² is selected from the group consisting of hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl, substituted cycloalkyl, cycloalkenyl, substituted cycloalkenyl, aryl, heteroaryl, heterocyclic, -C(O)R^d, -C(NH)R^d, -C(O)NR^cR^c, -C(O)OR^d, -C(NH)NR^cR^c and 5 -R^a-Y-R^b-(Z)_x, or R¹¹ and R¹² are joined, together with the nitrogen atom to which they are attached, to form a heterocyclic ring;

R¹³ is selected from the group consisting of hydrogen or -OR¹⁴;

R¹⁴ is selected from hydrogen, -C(O)R^d and a saccharide group;

10 each R^a is independently selected from the group consisting of alkylene, substituted alkylene, alkenylene, substituted alkenylene, alkynylene and substituted alkynylene;

15 each R^b is independently selected from the group consisting of a covalent bond, alkylene, substituted alkylene, alkenylene, substituted alkenylene, alkynylene and substituted alkynylene, provided R^b is not a covalent bond when Z is hydrogen;

20 each R^c is independently selected from the group consisting of hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl, substituted cycloalkyl, cycloalkenyl, substituted cycloalkenyl, aryl, heteroaryl, heterocyclic and -C(O)R^d;

25 each R^d is independently selected from the group consisting of alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl, substituted cycloalkyl, cycloalkenyl, substituted cycloalkenyl, aryl, heteroaryl and heterocyclic;

R^e is a saccharide group;

25 X¹, X² and X³ are independently selected from hydrogen or chloro;

each Y is independently selected from the group consisting of oxygen, sulfur, -S-S-, -NR^c-, -S(O)-, -SO₂-, -NR^cC(O)-, -OSO₂-, -OC(O)-, -NR^cSO₂-, -C(O)NR^c-, -C(O)O-, -SO₂NR^c-, -SO₂O-, -P(O)(OR^c)O-,

$-P(O)(OR^c)NR^{c-}$, $-OP(O)(OR^c)O^-$, $-OP(O)(OR^c)NR^{c-}$, $-OC(O)O^-$,
 $-NR^cC(O)O^-$, $-NRC(O)NR^{c-}$, $-OC(O)NR^{c-}$ and $-NR^cSO_2NR^{c-}$;

each Z is independently selected from hydrogen, aryl, cycloalkyl,
cycloalkenyl, heteroaryl and heterocyclic;

5 n is 0, 1 or 2;

x is 1 or 2;

and pharmaceutically acceptable salts, stereoisomers and prodrugs thereof;

provided that at least one of R^1 , R^2 , R^3 , R^4 , R^5 , R^6 , R^7 or R^{12} has a
substituent of the formula $-R^a-Y-R^b-(Z)_x$;

10 and further provided that:

(i) when Y is $-NR^c-$, R^c is alkyl of 1 to 4 carbon atoms, Z is hydrogen
and R^b is alkylene, then R^b contains at least 5 carbon atoms;

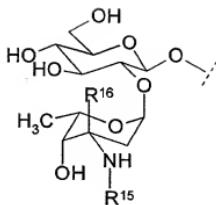
(ii) when Y is $-C(O)NR^{c-}$, Z is hydrogen and R^b is alkylene, then R^b
contains at least 5 carbon atoms;

15 (iii) when Y is sulfur, Z is hydrogen and R^b is alkylene, then R^b
contains at least 7 carbon atoms; and

(iv) when Y is oxygen, Z is hydrogen and R^b is alkylene, then R^b
contains at least 11 carbon atoms.

16. The compound of Claim 15, wherein R^1 is a saccharide group
20 optionally substituted with $-R^a-Y-R^b-(Z)_x$.

17. The compound of Claim 16, wherein R^1 is a saccharide group of the
formula:



wherein

R¹⁵ is -R^a-Y-R^b-(Z)_x; and

R¹⁶ is hydrogen or methyl.

18. The compound of Claim 17, wherein R¹⁵ is a -R^a-Y-R^b-(Z)_x group
5 selected from the group consisting of:

-CH₂CH₂-NH-(CH₂)₉CH₃;
-CH₂CH₂CH₂-NH-(CH₂)₈CH₃;
-CH₂CH₂CH₂CH₂-NH-(CH₂)₇CH₃;
-CH₂CH₂-NHSO₂-(CH₂)₉CH₃;
10 -CH₂CH₂-NHSO₂-(CH₂)₁₁CH₃;
-CH₂CH₂-S-(CH₂)₈CH₃;
-CH₂CH₂-S-(CH₂)₉CH₃;
-CH₂CH₂-S-(CH₂)₁₀CH₃;
-CH₂CH₂CH₂-S-(CH₂)₈CH₃;
15 -CH₂CH₂CH₂-S-(CH₂)₉CH₃;
-CH₂CH₂CH₂-S-(CH₂)₃-CH=CH-(CH₂)₄CH₃ (*trans*);
-CH₂CH₂CH₂CH₂-S-(CH₂)₇CH₃;
-CH₂CH₂-S(O)- (CH₂)₉CH₃;
-CH₂CH₂-S-(CH₂)₆Ph;

-CH₂CH₂-S-(CH₂)₈Ph;
-CH₂CH₂CH₂-S-(CH₂)₈Ph;
-CH₂CH₂-NH-CH₂-4-(4-Cl-Ph)-Ph;

5 -CH₂CH₂-NH-CH₂-4-[4-CH₃)₂CHCH₂-]-Ph;

-CH₂CH₂-NH-CH₂-4-(4-CF₃-Ph)-Ph;

-CH₂CH₂-S-CH₂-4-(4-Cl-Ph)-Ph;

-CH₂CH₂-S(O)-CH₂-4-(4-Cl-Ph)-Ph;

-CH₂CH₃CH₂-S-CH₂-4-(4-Cl-Ph)-Ph;

-CH₂CH₂CH₂-S(O)-CH₂-4-(4-Cl-Ph)-Ph;

10 -CH₂CH₂CH₂-S-CH₂-4-[3,4-di-Cl-PhCH₂O-]-Ph;

-CH₂CH₂-NHSO₂-CH₂-4-[4-(4-Ph)-Ph]-Ph;

-CH₂CH₂CH₂-NHSO₂-CH₂-4-(4-Cl-Ph)-Ph;

-CH₂CH₂CH₂-NHSO₂-CH₂-4-(Ph-C≡C-)-Ph;

-CH₂CH₂CH₂-NHSO₂-4-(4-Cl-Ph)-Ph; and

15 -CH₂CH₂CH₂-NHSO₂-4-(naphth-2-yl)-Ph.

19. The compound of Claim 15, wherein R³ is -OH or -NR^cR^c.

20. The compound of Claim 15, wherein R⁵ is hydrogen, -CH₂-N-(N-CH₃-D-glucamine); -CH₂-NH-CH₂CH₂-NH-(CH₂)₉CH₃; -CH₂-NH-CH₂CH₂-NH-(CH₂)₁₁CH₃; -CH₂-NH-(CH₂)₅-COOH; and -CH₂-N-(2-amino-2-deoxygluconic acid).

21. The compound of Claim 15, wherein R⁸ is -CH₂C(O)NH₂, -CH₂COOH, benzyl, 4-hydroxyphenyl or 3-chloro-4-hydroxyphenyl.

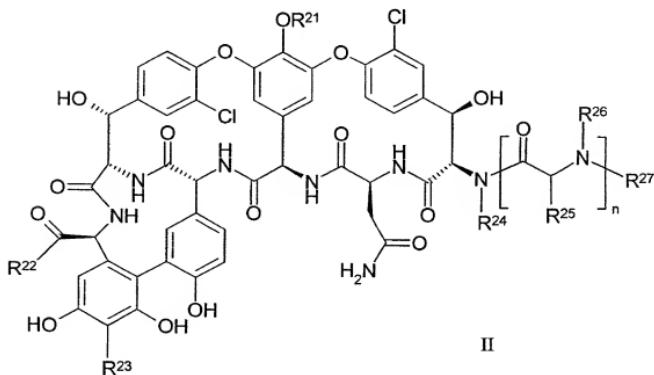
22. The compound of Claim 15, wherein R⁹ is hydrogen and R¹¹ is hydrogen or methyl.

23. The compound of Claim 22, wherein R¹⁰ is alkyl or substituted alkyl.

24. The compound of Claim 23, wherein R¹² is hydrogen, alkyl, substituted alkyl or -C(O)R⁴.

5 25. The compound of Claim 24, wherein *n* is 1.

26. A compound of formula II:



wherein

R²¹ is selected from the group consisting of hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl, substituted cycloalkyl, cycloalkenyl, substituted cycloalkenyl, aryl, heteroaryl, heterocyclic and -R^a-Y-R^b-(Z)_x; or a saccharide group optionally substituted with -R^a-Y-R^b-(Z)_x;

R²² is -OR^c, -NR^cR^c, -O-R^a-Y-R^b-(Z)_x or -NR^c-R^a-Y-R^b-(Z)_x;

R²³ is selected from the group consisting of hydrogen, halo,

-CH(R^c)-NR^cR^c, -CH(R^c)-R^c and -CH(R^c)-NR^c-R^a-Y-R^b-(Z)_x;

R²⁴ is selected from the group consisting of hydrogen and lower alkyl;

5 R²⁵ is selected from the group consisting of hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl, substituted cycloalkyl, cycloalkenyl, substituted cycloalkenyl, aryl, heteroaryl and heterocyclic;

R²⁶ is selected from the group consisting of hydrogen and lower alkyl; or

10 R²⁵ and R²⁶ are joined, together with the carbon and nitrogen atoms to which they are attached, to form a heterocyclic ring;

R²⁷ is selected from the group consisting of hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl, substituted cycloalkyl, cycloalkenyl, substituted cycloalkenyl, aryl, heteroaryl, heterocyclic, -C(O)R^d, -C(NH)R^d, -C(O)NR^cR^c, -C(O)OR^d, -C(NH)NR^cR^c and -R^a-Y-R^b-(Z)_x, or R²⁶ and R²⁷ are joined, together with the nitrogen atom to which they are attached, to form a heterocyclic ring;

20 each R^a is independently selected from the group consisting of alkylene, substituted alkylene, alkenylene, substituted alkenylene, alkynylene and substituted alkynylene;

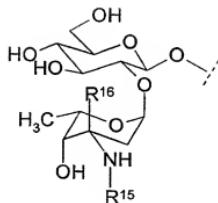
each R^b is independently selected from the group consisting of a covalent bond, alkylene, substituted alkylene, alkenylene, substituted alkenylene, alkynylene and substituted alkynylene, provided R^b is not a covalent bond when Z is hydrogen;

25 each R^c is independently selected from the group consisting of hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl, substituted cycloalkyl, cycloalkenyl, substituted cycloalkenyl, aryl, heteroaryl, heterocyclic and -C(O)R^d;

each R^d is independently selected from the group consisting of alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl, substituted cycloalkyl, cycloalkenyl, substituted cycloalkenyl, aryl, heteroaryl and heterocyclic;

5 R^e is an aminosaccharide group;
each Y is independently selected from the group consisting of oxygen, sulfur, $-S-S-$, $-NR^e-$, $-S(O)-$, $-SO_2-$, $-NR^eC(O)-$, $-OSO_2-$, $-OC(O)-$, $-NR^eSO_2-$, $-C(O)NR^e-$, $-C(O)O-$, $-SO_2NR^e-$, $-SO_2O-$, $-P(O)(OR^e)O-$, $-P(O)(OR^e)NR^e-$, $-OP(O)(OR^e)O-$, $-OP(O)(OR^e)NR^e-$, $-OC(O)O-$,
10 $-NR^eC(O)O-$, $-NR^eC(O)NR^e-$, $-OC(O)NR^e-$ and $-NR^eSO_2NR^e-$;
each Z is independently selected from hydrogen, aryl, cycloalkyl, cycloalkenyl, heteroaryl and heterocyclic;
 n is 0, 1 or 2;
 x is 1 or 2;
15 and pharmaceutically acceptable salts, stereoisomers and prodrugs thereof;
provided that at least one of R^{21} , R^{22} , R^{23} or R^{27} has a substituent of the formula $-R^a-Y-R^b-(Z)_x$;
and further provided that:
(i) when Y is $-NR^e-$, R^e is alkyl of 1 to 4 carbon atoms, Z is hydrogen and R^b is alkylene, then R^b contains at least 5 carbon atoms;
20 (ii) when Y is $-C(O)NR^e-$, Z is hydrogen and R^b is alkylene, then R^b contains at least 5 carbon atoms;
 (iii) when Y is sulfur, Z is hydrogen and R^b is alkylene, then R^b contains at least 7 carbon atoms; and
25 (iv) when Y is oxygen, Z is hydrogen and R^b is alkylene, then R^b contains at least 11 carbon atoms.

27. The compound of Claim 26, wherein R^{21} is a saccharide group of the formula:



wherein

R¹⁵ is -R^a-Y-R^b-(Z)_x, and

R¹⁶ is hydrogen or methyl.

28. The compound of Claim 27, wherein R¹⁵ is a -R^a-Y-R^b-(Z)_x group

5 selected from the group consisting of:

-CH₂CH₂-NH-(CH₂)₉CH₃;

-CH₂CH₂CH₂-NH-(CH₂)₈CH₃;

-CH₂CH₂CH₂CH₂-NH-(CH₂)₇CH₃;

-CH₂CH₂-NHSO₂-(CH₂)₆CH₃;

10 -CH₂CH₂-NHSO₂-(CH₂)₁₁CH₃;

-CH₂CH₂-S-(CH₂)₈CH₃;

-CH₂CH₂-S-(CH₂)₉CH₃;

-CH₂CH₂-S-(CH₂)₁₀CH₃;

-CH₂CH₂CH₂-S-(CH₂)₈CH₃;

15 -CH₂CH₂CH₂-S-(CH₂)₉CH₃;

-CH₂CH₂CH₂-S-(CH₂)₃-CH=CH-(CH₂)₄CH₃ (trans);

-CH₂CH₂CH₂CH₂-S-(CH₂)₇CH₃;

-CH₂CH₂-S(O)-(CH₂)₉CH₃;

-CH₂CH₂-S-(CH₂)₆Ph;

20 -CH₂CH₂-S-(CH₂)₈Ph;

-CH₂CH₂CH₂-S-(CH₂)₈Ph;
-CH₂CH₂-NH-CH₂-4-(4-Cl-Ph)-Ph;
-CH₂CH₂-NH-CH₂-4-[4-CH₃)₂CHCH₂-]-Ph;

5 -CH₂CH₂-NH-CH₂-4-(4-CF₃-Ph)-Ph;

-CH₂CH₂-S-CH₂-4-(4-Cl-Ph)-Ph;

-CH₂CH₂-S(O)-CH₂-4-(4-Cl-Ph)-Ph;

-CH₂CH₂CH₂-S-CH₂-4-(4-Cl-Ph)-Ph;

-CH₂CH₂CH₂-S(O)-CH₂-4-(4-Cl-Ph)-Ph;

-CH₂CH₂CH₂-S-CH₂-4-[3,4-di-Cl-PhCH₂O-]-Ph;

10 -CH₂CH₂-NHSO₂-CH₂-4-[4-(4-Ph)-Ph]-Ph;

-CH₂CH₂CH₂-NHSO₂-CH₂-4-(4-Cl-Ph)-Ph;

-CH₂CH₂CH₂-NHSO₂-CH₂-4-(Ph-C≡C)-Ph;

-CH₂CH₂CH₂-NHSO₂-4-(4-Cl-Ph)-Ph; and

-CH₂CH₂CH₂-NHSO₂-4-(naphth-2-yl)-Ph.

15 29. The compound of Claim 26, wherein R²² is -OH or -NR^cR^c.

30. The compound of Claim 26, wherein R²³ is hydrogen, -CH₂-N-(N-CH₃-D-glucamine); -CH₂-NH-CH₂CH₂-NH-(CH₂)₉CH₃; -CH₂-NH-CH₂CH₂-NH-(CH₂)₁₁CH₃; -CH₂-NH-(CH₂)₅-COOH; or -CH₂-N-(2-amino-2-deoxygluconic acid).

20 31. The compound of Claim 26, wherein R²⁴ is hydrogen and R²⁶ is hydrogen or methyl.

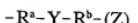
32. The compound of Claim 31, wherein R²⁵ is alkyl or substituted alkyl.

33. The compound of Claim 32, wherein R²⁵ is isobutyl.

34. The compound of Claim 33, wherein R²⁷ is hydrogen, alkyl, substituted alkyl or -C(O)R⁴.

35. A compound shown in any of Tables I, II, III, IV, V or VI, or a pharmaceutically-acceptable salt thereof.

5 36. A pharmaceutical composition comprising a pharmaceutically-acceptable carrier and a therapeutically effective amount of a glycopeptide compound having at least one substituent of the formula:



10 wherein

each R^a is independently selected from the group consisting of alkylene, substituted alkylene, alkenylene, substituted alkenylene, alkynylene and substituted alkynylene;

15 each R^b is independently selected from the group consisting of a covalent bond, alkylene, substituted alkylene, alkenylene, substituted alkenylene, alkynylene and substituted alkynylene, provided R^b is not a covalent bond when Z is hydrogen;

20 each Y is independently selected from the group consisting of oxygen, sulfur, -S-S-, -NR^c-, -S(O)-, -SO₂-, -NR^cC(O)-, -OC(O)-, -NR^cSO₂-, -OSO₂-, -C(O)NR^c-, -C(O)O-, -SO₂NR^c-, -SO₂O-, -P(O)(OR^c)O-, -P(O)(OR^c)NR^c-, -OP(O)(OR^c)O-, -OP(O)(OR^c)NR^c-, -OC(O)O-, -NR^cC(O)O-, -NR^cC(O)NR^c-, -OC(O)NR^c and -NR^cSO₂NR^c;

25 each Z is independently selected from hydrogen, aryl, cycloalkyl, cycloalkenyl, heteroaryl and heterocyclic;

each R^c is independently selected from the group consisting of hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl,

cycloalkyl, substituted cycloalkyl, cycloalkenyl, substituted cycloalkenyl, aryl, heteroaryl, heterocyclic and $-C(O)R^d$;

each R^d is independently selected from the group consisting of alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl,

5 cycloalkyl, substituted cycloalkyl, cycloalkenyl, substituted cycloalkenyl, aryl, heteroaryl and heterocyclic;

x is 1 or 2;

and pharmaceutically acceptable salts thereof;

provided that:

10 (i) when Y is $-NR^c-$, R^c is alkyl of 1 to 4 carbon atoms, Z is hydrogen and R^b is alkylene, then R^b contains at least 5 carbon atoms;

(ii) when Y is $-C(O)NR^c-$, Z is hydrogen and R^b is alkylene, then R^b contains at least 5 carbon atoms;

15 (iii) when Y is sulfur, Z is hydrogen and R^b is alkylene, then R^b contains at least 7 carbon atoms; and

(iv) when Y is oxygen, Z is hydrogen and R^b is alkylene, then R^b contains at least 11 carbon atoms.

37. The pharmaceutical composition of Claim 36, wherein the glycopeptide compound is substituted with from 1 to 3 substituents of the formula $-R^a-Y-R^b-(Z)_x$.

20 38. The pharmaceutical composition of Claim 37, wherein each R^a is independently selected from alkylene having from 1 to 10 carbon atoms.

39. The pharmaceutical composition of Claim 38, wherein R^a is ethylene or propylene.

40. The pharmaceutical composition of Claim 37, wherein Z is hydrogen and R^b is alkylene of from 8 to 12 carbon atoms.

41. The pharmaceutical composition of Claim 40, wherein R^b and Z form an *n*-octyl, *n*-nonyl, *n*-decyl, *n*-undecyl or *n*-dodecyl group.

5 42. The pharmaceutical composition of Claim 37, wherein Z is aryl, cycloalkyl, cycloalkenyl, heteroaryl or heterocyclic and R^b is a covalent bond or alkylene of from 1 to 10 carbon atoms.

43. The pharmaceutical composition of Claim 42, wherein Z is aryl and R^b is a covalent bond, methylene, -(CH₂)₆-⁻, -(CH₂)₇-⁻, -(CH₂)₈-⁻, -(CH₂)₉-⁻ or 10 -(CH₂)₁₀-⁻.

44. The pharmaceutical composition of Claim 37, wherein each Y is independently selected from the group consisting of oxygen, sulfur, -S-S-, -NR^c-⁻, -S(O)-⁻, -SO₂-⁻, -NR^cC(O)-⁻, -OC(O)-⁻, -NR^cSO₂-⁻, -C(O)NR^c-⁻, -C(O)O- and -SO₂NR^c-⁻.

15 45. The pharmaceutical composition of Claim 44, wherein Y is oxygen, sulfur, -NR^c-⁻ or -NR^cSO₂-⁻.

46. The pharmaceutical composition of Claim 37, wherein each Z is independently selected from hydrogen, aryl, cycloalkyl, heteroaryl and heterocyclic.

20 47. The pharmaceutical composition of Claim 46, wherein Z is hydrogen or aryl.

48. The pharmaceutical composition of Claim 47, wherein Z is phenyl, substituted phenyl, biphenyl, substituted biphenyl or terphenyl.

49. The pharmaceutical composition of Claim 37, wherein the -R^a-Y-R^b-(Z)_x group is selected from the group consisting of:

5 -CH₂CH₂-NH-(CH₂)₉CH₃;

 -CH₂CH₂CH₂-NH-(CH₂)₈CH₃;

 -CH₂CH₂CH₂CH₂-NH-(CH₂)₇CH₃;

 -CH₂CH₂-NHSO₂-(CH₂)₉CH₃;

 -CH₂CH₂-NHSO₂-(CH₂)₁₁CH₃;

10 -CH₂CH₂-S-(CH₂)₈CH₃;

 -CH₂CH₂-S-(CH₂)₉CH₃;

 -CH₂CH₂-S-(CH₂)₁₀CH₃;

 -CH₂CH₂CH₂-S-(CH₂)₈CH₃;

 -CH₂CH₂CH₂-S-(CH₂)₉CH₃;

15 -CH₂CH₂CH₂-S-(CH₂)₃-CH=CH-(CH₂)₄CH₃ (*trans*);

 -CH₂CH₂CH₂CH₂-S-(CH₂)₇CH₃;

 -CH₂CH₂-S(O)-(CH₂)₉CH₃;

 -CH₂CH₂-S-(CH₂)₆Ph;

 -CH₂CH₂-S-(CH₂)₈Ph;

20 -CH₂CH₂CH₂-S-(CH₂)₈Ph;

 -CH₂CH₂-NH-CH₂-4-(4-Cl-Ph)-Ph;

 -CH₂CH₂-NH-CH₂-4-[4-CH₃)₂CHCH₂-]-Ph;

 -CH₂CH₂-NH-CH₂-4-(4-CF₃-Ph)-Ph;

 -CH₂CH₂-S-CH₂-4-(4-Cl-Ph)-Ph;

25 -CH₂CH₂-S(O)-CH₂-4-(4-Cl-Ph)-Ph;

 -CH₂CH₂CH₂-S-CH₂-4-(4-Cl-Ph)-Ph;

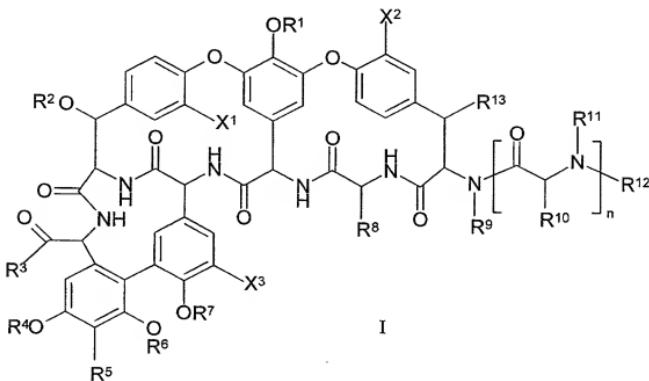
 -CH₂CH₂CH₂-S(O)-CH₂-4-(4-Cl-Ph)-Ph;

 -CH₂CH₂CH₂-S-CH₂-4-[3,4-di-Cl-PhCH₂O-]-Ph;

-CH₂CH₂-NHSO₂-CH₂-4-[4-(4-Ph)-Ph]-Ph;
-CH₂CH₂CH₂-NHSO₂-CH₂-4-(4-Cl-Ph)-Ph;
-CH₂CH₂CH₂-NHSO₂-CH₂-4-(Ph-C≡C)-Ph;
-CH₂CH₂CH₂-NHSO₂-4-(4-Cl-Ph)-Ph; and
-CH₂CH₂CH₂-NHSO₂-4-(naphth-2-yl)-Ph.

5

50. A pharmaceutical composition comprising a pharmaceutically-acceptable carrier and a therapeutically effective amount of a compound of formula I:



10

wherein

R¹ is selected from the group consisting of hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl, substituted cycloalkyl, cycloalkenyl, substituted cycloalkenyl, aryl, heteroaryl, heterocyclic and -R^a-Y-R^b-(Z); or a saccharide group optionally substituted with -R^a-Y-R^b-(Z);
15

R^2 is hydrogen or a saccharide group optionally substituted with

$-R^a-Y-R^b-(Z)_x$;

R^3 is $-OR^c$, $-NR^cR^c$, $-O-R^a-Y-R^b-(Z)_x$, $-NR^c-R^a-Y-R^b-(Z)_x$, $-NR^cR^c$, or $-O-R^e$;

5 R^4 is selected from the group consisting of hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, $-R^a-Y-R^b-(Z)_x$, $-C(O)R^d$ and a saccharide group optionally substituted with $-R^a-Y-R^b-(Z)_x$;

R^5 is selected from the group consisting of hydrogen, halo, $-CH(R^c)-NR^cR^c$, $-CH(R^c)-NR^cR^c$ and $-CH(R^c)-NR^c-R^a-Y-R^b-(Z)_x$;

10 R^6 is selected from the group consisting of hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, $-R^a-Y-R^b-(Z)_x$, $-C(O)R^d$ and a saccharide group optionally substituted with $-NR^c-R^a-Y-R^b-(Z)_x$, or R^5 and R^6 can be joined, together with the atoms to which they are attached, form a heterocyclic ring optionally substituted with $-NR^c-R^a-Y-R^b-(Z)_x$;

15 R^7 is selected from the group consisting of hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, $-R^a-Y-R^b-(Z)_x$, and $-C(O)R^d$;

20 R^8 is selected from the group consisting of hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl, substituted cycloalkyl, cycloalkenyl, substituted cycloalkenyl, aryl, heteroaryl and heterocyclic;

25 R^9 is selected from the group consisting of hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl, substituted cycloalkyl, cycloalkenyl, substituted cycloalkenyl, aryl, heteroaryl and heterocyclic;

R^{10} is selected from the group consisting of hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl, substituted cycloalkyl, cycloalkenyl, substituted cycloalkenyl, aryl, heteroaryl and

heterocyclic; or R⁸ and R¹⁰ are joined to form -Ar¹-O-Ar²-, where Ar¹ and Ar² are independently arylene or heteroarylene;

R¹¹ is selected from the group consisting of hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl, substituted cycloalkyl, cycloalkenyl, substituted cycloalkenyl, aryl, heteroaryl and heterocyclic, or R¹⁰ and R¹¹ are joined, together with the carbon and nitrogen atoms to which they are attached, to form a heterocyclic ring;

R¹² is selected from the group consisting of hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl, substituted cycloalkyl, cycloalkenyl, substituted cycloalkenyl, aryl, heteroaryl, heterocyclic, -C(O)R^d, -C(NH)R^d, -C(O)NR^cR^c, -C(O)OR^d, -C(NH)NR^cR^c and -R^a-Y-R^b-(Z)_x, or R¹¹ and R¹² are joined, together with the nitrogen atom to which they are attached, to form a heterocyclic ring;

R¹³ is selected from the group consisting of hydrogen or -OR¹⁴;

15 R¹⁴ is selected from hydrogen, -C(O)R^d and a saccharide group;
each R^a is independently selected from the group consisting of alkylene, substituted alkylene, alkenylene, substituted alkenylene, alkynylene and substituted alkynylene;

20 each R^b is independently selected from the group consisting of a covalent bond, alkylene, substituted alkylene, alkenylene, substituted alkenylene, alkynylene and substituted alkynylene, provided R^b is not a covalent bond when Z is hydrogen;

25 each R^c is independently selected from the group consisting of hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl, substituted cycloalkyl, cycloalkenyl, substituted cycloalkenyl, aryl, heteroaryl, heterocyclic and -C(O)R^d;

each R^d is independently selected from the group consisting of alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl,

cycloalkyl, substituted cycloalkyl, cycloalkenyl, substituted cycloalkenyl, aryl, heteroaryl and heterocyclic;

R^e is a saccharide group;

X¹, X² and X³ are independently selected from hydrogen or chloro;

5 each Y is independently selected from the group consisting of oxygen, sulfur, -S-S-, -NR^{c-}, -S(O)-, -SO₂-, -NR^cC(O)-, -OSO₂-, -OC(O)-, -NR^cSO₂-, -C(O)NR^{c-}, -C(O)O-, -SO₂NR^{c-}, -SO₂O-, -P(O)(OR^c)O-, -P(O)(OR^c)NR^{c-}, -OP(O)(OR^c)O-, -OP(O)(OR^c)NR^{c-}, -OC(O)O-, -NR^cC(O)O-, -NR^cC(O)NR^{c-}, -OC(O)NR^{c-} and -NR^cSO₂NR^{c-};

10 each Z is independently selected from hydrogen, aryl, cycloalkyl, cycloalkenyl, heteroaryl and heterocyclic;

n is 0, 1 or 2;

x is 1 or 2;

and pharmaceutically acceptable salts, stereoisomers and prodrugs thereof;

15 provided that at least one of R¹, R², R³, R⁴, R⁵, R⁶, R⁷ or R¹² has a substituent of the formula -R^a-Y-R^b-(Z)_x;

and further provided that:

(i) when Y is -NR^{c-}, R^c is alkyl of 1 to 4 carbon atoms, Z is hydrogen and R^b is alkylene, then R^b contains at least 5 carbon atoms;

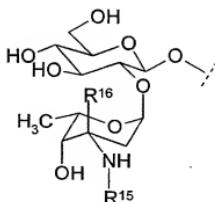
20 (ii) when Y is -C(O)NR^{c-}, Z is hydrogen and R^b is alkylene, then R^b contains at least 5 carbon atoms;

(iii) when Y is sulfur, Z is hydrogen and R^b is alkylene, then R^b contains at least 7 carbon atoms; and

25 (iv) when Y is oxygen, Z is hydrogen and R^b is alkylene, then R^b contains at least 11 carbon atoms.

51. The pharmaceutical composition of Claim 50, wherein R¹ is a saccharide group optionally substituted with -R^a-Y-R^b-(Z)_x.

52. The pharmaceutical composition of Claim 51, wherein R¹ is a saccharide group of the formula:



wherein

R¹⁵ is -R^a-Y-R^b-(Z)_x; and

R¹⁶ is hydrogen or methyl.

53. The pharmaceutical composition of Claim 52, wherein R¹⁵ is a -R^a-Y-R^b-(Z)_x group selected from the group consisting of:

- CH₂CH₂-NH-(CH₂)₉CH₃;
- CH₂CH₂CH₂-NH-(CH₂)₈CH₃;
- 10 -CH₂CH₂CH₂CH₂-NH-(CH₂)₇CH₃;
- CH₂CH₂-NHSO₂-(CH₂)₉CH₃;
- CH₂CH₂-NHSO₂-(CH₂)₁₁CH₃;
- 15 -CH₂CH₂-S-(CH₂)₈CH₃;
- CH₂CH₂-S-(CH₂)₉CH₃;
- CH₂CH₂-S-(CH₂)₁₀CH₃;
- CH₂CH₂CH₂-S-(CH₂)₈CH₃;
- CH₂CH₂CH₂-S-(CH₂)₉CH₃;
- 15 -CH₂CH₂CH₂-S-(CH₂)₃-CH=CH-(CH₂)₄CH₃ (*trans*);
- CH₂CH₂CH₂CH₂-S-(CH₂)₇CH₃;

-CH₂CH₂-S(O)-(CH₂)₉CH₃;
-CH₂CH₂-S-(CH₂)₆Ph;
-CH₂CH₂-S-(CH₂)₈Ph;
-CH₂CH₂CH₂-S-(CH₂)₈Ph;

5 -CH₂CH₂-NH-CH₂-4-(4-Cl-Ph)-Ph;
-CH₂CH₂-NH-CH₂-4-[4-CH₃)₂CHCH₂-]-Ph;
-CH₂CH₂-NH-CH₂-4-(4-CF₃-Ph)-Ph;
-CH₂CH₂-S-CH₂-4-(4-Cl-Ph)-Ph;
-CH₂CH₂-S(O)-CH₂-4-(4-Cl-Ph)-Ph;

10 -CH₂CH₂CH₂-S-CH₂-4-(4-Cl-Ph)-Ph;
-CH₂CH₂CH₂-S(O)-CH₂-4-(4-Cl-Ph)-Ph;
-CH₂CH₂CH₂-S-CH₂-4-[3,4-di-Cl-PhCH₂O-]-Ph;
-CH₂CH₂-NHSO₂-CH₂-4-[4-(4-Ph)-Ph]-Ph;
-CH₂CH₂CH₂-NHSO₂-CH₂-4-(4-Cl-Ph)-Ph;
15 -CH₂CH₂CH₂-NHSO₂-CH₂-4-(Ph-C≡C-)-Ph;
-CH₂CH₂CH₂-NHSO₂-4-(4-Cl-Ph)-Ph; and
-CH₂CH₂CH₂-NHSO₂-4-(naphth-2-yl)-Ph.

54. The pharmaceutical composition of Claim 50, wherein R³ is -OH or -NR^cR^c.

20 55. The pharmaceutical composition of Claim 50, wherein R⁵ is hydrogen, -CH₂-N-(N-CH₃-D-glucamine); -CH₂-NH-CH₂CH₂-NH-(CH₂)₉CH₃; -CH₂-NH-CH₂CH₂-NH-(CH₂)₁₁CH₃; -CH₂-NH-(CH₂)₅-COOH; and -CH₂-N-(2-amino-2-deoxygluconic acid).

25 56. The pharmaceutical composition of Claim 50, wherein R⁸ is -CH₂C(O)NH₂, -CH₂COOH, benzyl, 4-hydroxyphenyl or 3-chloro-4-hydroxyphenyl.

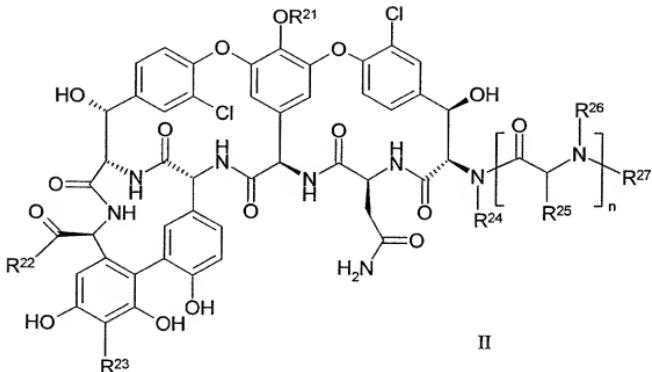
57. The pharmaceutical composition of Claim 50, wherein R⁹ is hydrogen and R¹¹ is hydrogen or methyl.

58. The pharmaceutical composition of Claim 57, wherein R¹⁰ is alkyl or substituted alkyl.

59. The pharmaceutical composition of Claim 58, wherein R¹² is hydrogen, alkyl, substituted alkyl or -C(O)R⁴.

60. The pharmaceutical composition of Claim 50, wherein *n* is 1.

61. A pharmaceutical composition comprising a pharmaceutically-acceptable carrier and a therapeutically effective amount of a compound of formula II:



wherein

R²¹ is selected from the group consisting of hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl, substituted cycloalkyl, cycloalkenyl, substituted cycloalkenyl, aryl, heteroaryl, heterocyclic and -R^a-Y-R^b-(Z)_x; or a saccharide group optionally substituted with -R^a-Y-R^b-(Z)_x;

5 R²² is -OR^c, -NR^cR^c, -O-R^a-Y-R^b-(Z)_x or -NR^c-R^a-Y-R^b-(Z)_x;

R²³ is selected from the group consisting of hydrogen, halo, -CH(R^c)-NR^cR^c, -CH(R^c)-R^c and -CH(R^c)-NR^c-R^a-Y-R^b-(Z)_x;

10 R²⁴ is selected from the group consisting of hydrogen and lower alkyl;

R²⁵ is selected from the group consisting of hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl, substituted cycloalkyl, cycloalkenyl, substituted cycloalkenyl, aryl, heteroaryl and heterocyclic;

15 R²⁶ is selected from the group consisting of hydrogen and lower alkyl; or R²⁵ and R²⁶ are joined, together with the carbon and nitrogen atoms to which they are attached, to form a heterocyclic ring;

R²⁷ is selected from the group consisting of hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl, substituted cycloalkyl, cycloalkenyl, substituted cycloalkenyl, aryl, heteroaryl, heterocyclic, -C(O)R^d, -C(NH)R^d, -C(O)NR^cR^c, -C(O)OR^d, -C(NH)NR^cR^c and -R^a-Y-R^b-(Z)_x, or R²⁶ and R²⁷ are joined, together with the nitrogen atom to which they are attached, to form a heterocyclic ring;

each R^a is independently selected from the group consisting of alkylene, substituted alkylene, alkenylene, substituted alkenylene, alkynylene and substituted alkynylene;

25 each R^b is independently selected from the group consisting of a covalent bond, alkylene, substituted alkylene, alkenylene, substituted alkenylene, alkynylene and substituted alkynylene, provided R^b is not a covalent bond when Z is hydrogen;

each R^c is independently selected from the group consisting of hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl, substituted cycloalkyl, cycloalkenyl, substituted cycloalkenyl, aryl, heteroaryl, heterocyclic and -C(O)R^d;

5 each R^d is independently selected from the group consisting of alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl, substituted cycloalkyl, cycloalkenyl, substituted cycloalkenyl, aryl, heteroaryl and heterocyclic;

R^e is an aminosaccharide group;

10 each Y is independently selected from the group consisting of oxygen, sulfur, -S-S-, -NR^c-, -S(O)-, -SO₂-, -NR^cC(O)-, -OSO₂-, -OC(O)-, -NR^cSO₂-, -C(O)NR^c-, -C(O)O-, -SO₂NR^c-, -SO₂O-, -P(O)(OR^c)O-, -P(O)(OR^c)NR^c-, -OP(O)(OR^c)O-, -OP(O)(OR^c)NR^c-, -OC(O)O-, -NR^cC(O)O-, -NR^cC(O)NR^c-, -OC(O)NR^c and -NR^cSO₂NR^c;

15 each Z is independently selected from hydrogen, aryl, cycloalkyl, cycloalkenyl, heteroaryl and heterocyclic;

n is 0, 1 or 2;

x is 1 or 2;

and pharmaceutically acceptable salts, stereoisomers and prodrugs thereof;

20 provided that at least one of R²¹, R²², R²³ or R²⁷ has a substituent of the formula -R^a-Y-R^b-(Z)_x;

and further provided that:

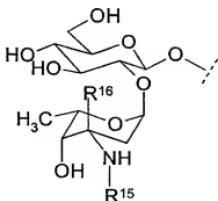
(i) when Y is -NR^c-, R^c is alkyl of 1 to 4 carbon atoms, Z is hydrogen and R^b is alkylene, then R^b contains at least 5 carbon atoms;

25 (ii) when Y is -C(O)NR^c-, Z is hydrogen and R^b is alkylene, then R^b contains at least 5 carbon atoms;

(iii) when Y is sulfur, Z is hydrogen and R^b is alkylene, then R^b contains at least 7 carbon atoms; and

(iv) when Y is oxygen, Z is hydrogen and R^b is alkylene, then R^b contains at least 11 carbon atoms.

62. The pharmaceutical composition of Claim 61, wherein R²¹ is a saccharide group of the formula:



5 wherein

R¹⁵ is -R^a-Y-R^b-(Z)_x, and

R¹⁶ is hydrogen or methyl.

63. The pharmaceutical composition of Claim 62, wherein R¹⁵ is a -R^a-Y-R^b-(Z)_x group selected from the group consisting of:

10 -CH₂CH₂-NH-(CH₂)₉CH₃;
-CH₂CH₂CH₂-NH-(CH₂)₈CH₃;
-CH₂CH₂CH₂CH₂-NH-(CH₂)₇CH₃;
-CH₂CH₂-NHSO₂-(CH₂)₉CH₃;
-CH₂CH₂-NHSO₂-(CH₂)₁₁CH₃;
15 -CH₂CH₂-S-(CH₂)₈CH₃;
-CH₂CH₂-S-(CH₂)₉CH₃;
-CH₂CH₂-S-(CH₂)₁₀CH₃;
-CH₂CH₂CH₂-S-(CH₂)₈CH₃;

5 -CH₂CH₂CH₂-S-(CH₂)₉CH₃;
-CH₂CH₂CH₂-S-(CH₂)₃-CH=CH-(CH₂)₄CH₃ (*trans*);
-CH₂CH₂CH₂CH₂-S-(CH₂)₇CH₃;
-CH₂CH₂-S(O)-(CH₂)₉CH₃;

10 -CH₂CH₂-S-(CH₂)₆Ph;
-CH₂CH₂-S-(CH₂)₈Ph;
-CH₂CH₂CH₂-S-(CH₂)₈Ph;
-CH₂CH₂-NH-CH₂-4-(4-Cl-Ph)-Ph;
-CH₂CH₂-NH-CH₂-4-[4-CH₃)₂CHCH₂-]-Ph;
-CH₂CH₂-NH-CH₂-4-(4-CF₃-Ph)-Ph;
-CH₂CH₂-S-CH₂-4-(4-Cl-Ph)-Ph;
-CH₂CH₂-S(O)-CH₂-4-(4-Cl-Ph)-Ph;
-CH₂CH₂CH₂-S-CH₂-4-(4-Cl-Ph)-Ph;

15 -CH₂CH₂CH₂-S-CH₂-4-[3,4-di-Cl-PhCH₂O)-Ph;
-CH₂CH₂-NHSO₂-CH₂-4-[4-(4-Ph)-Ph]-Ph;
-CH₂CH₂CH₂-NHSO₂-CH₂-4-(4-Cl-Ph)-Ph;
-CH₂CH₂CH₂-NHSO₂-CH₂-4-(Ph-C≡C-)-Ph;
-CH₂CH₂CH₂-NHSO₂-4-(4-Cl-Ph)-Ph; and

20 -CH₂CH₂CH₂-NHSO₂-4-(naphth-2-yl)-Ph.

64. The pharmaceutical composition of Claim 61, wherein R²² is -OH or -NR^cR^c.

65. The pharmaceutical composition of Claim 61, wherein R²³ is hydrogen, -CH₂-N-(*N*-CH₃-D-glucamine); -CH₂-NH-CH₂CH₂-NH-(CH₂)₉CH₃; -CH₂-NH-CH₂CH₂-NH-(CH₂)₁₁CH₃; -CH₂-NH-(CH₂)₅-COOH; or -CH₂-N-(2-amino-2-deoxygluconic acid).

66. The pharmaceutical composition of Claim 61, wherein R²⁴ is hydrogen and R²⁶ is hydrogen or methyl.

67. The pharmaceutical composition of Claim 66, wherein R²⁵ is alkyl or substituted alkyl.

5 68. The pharmaceutical composition of Claim 67, wherein R²⁵ is isobutyl.

69. The pharmaceutical composition of Claim 68, wherein R²⁷ is hydrogen, alkyl, substituted alkyl or -C(O)R^d.

10 70. A pharmaceutical composition comprising a pharmaceutically-acceptable carrier and a therapeutically effective amount of a compound shown in any of Tables I, II, III, IV, V or VI, or a pharmaceutically-acceptable salt thereof.

71. A method of treating a mammal having a bacterial disease, the method comprising administering to the mammal a therapeutically effective amount of a pharmaceutical composition of Claim 36, 50 or 61.